

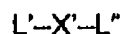
Application No.: 09/457,926  
 Attorney Docket No.: 9210.0004-00

### **AMENDMENTS TO THE CLAIMS:**

This listing of claims will replace all prior versions and listings of claims in the application:

Claims 1-40. (Canceled).

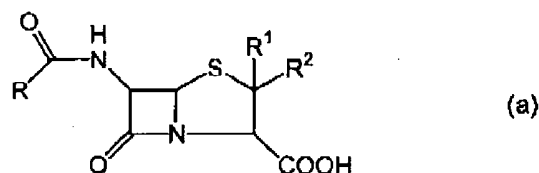
41. (Currently amended) A compound of the formula:



or a pharmaceutically acceptable salt thereof; wherein

L' is a moiety selected from the group consisting of:

(i) a moiety of formula (a):

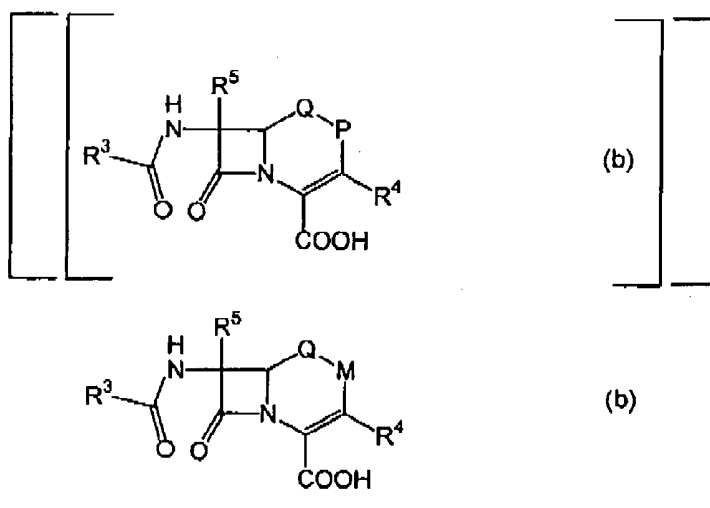


wherein:

R is selected from the group consisting of substituted alkyl, aryl, aralkyl, and heteroaryl wherein each of said substituents optionally links (a) to the linker via a covalent bond or R is a covalent bond that links (a) to the linker; and

R<sup>1</sup> and R<sup>2</sup> are, independently of each other, alkyl or at least one of R<sup>1</sup> or R<sup>2</sup> is a covalent bond linking (a) to the linker provided that only one of R, R<sup>1</sup> or R<sup>2</sup> links said moiety to said linker;

(ii) a moiety of formula (b):



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wherein:

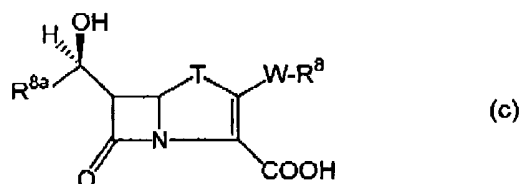
one of  $[[P]]$   $M$  and  $Q$  is O, S, or  $-\text{CH}_2-$  and the other is  $-\text{CH}_2-$ ;

$R^3$  is selected from the group consisting of substituted alkyl, heteroarylalkyl, aralkyl, heterocyclalkyl, and  $-\text{C}(\text{R}^6)=\text{NOR}^7$ , wherein  $\text{R}^6$  is aryl, heteroaryl, or substituted alkyl and  $\text{R}^7$  is alkyl or substituted alkyl and further wherein each of said substituents optionally links (b) to the linker via a covalent bond or  $\text{R}^3$  is a covalent bond that links (b) to the linker; and

$\text{R}^4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, substituted alkenyl, substituted alkyl, halo, heteroarylalkyl, heterocyclalkyl,  $-\text{SR}^a$  and  $-\text{CH}_2\text{SR}^a$ , where  $\text{R}^a$  is aryl, heteroaryl, heterocycl or cycloalkyl wherein each of said substituents optionally links (b) to the linker or  $\text{R}^4$  is a covalent bond that links (b) to the linker provided that only one of said  $\text{R}^3$  substituents or covalent bond and  $\text{R}^4$  substituents or covalent bond links said moiety to said linker; and

$\text{R}^5$  is selected from the group consisting of hydrogen, hydroxy; and alkoxy;

(iii) a moiety of formula (c):



wherein:

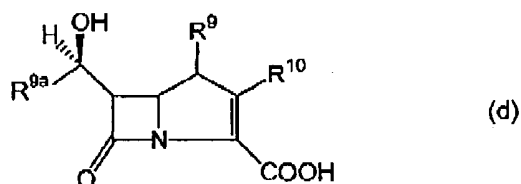
T is S or  $\text{CH}_2$ ,

$\text{R}^{8a}$  is alkyl;

W is selected from the group consisting of O, S,  $-\text{OCH}_2-$ , and  $\text{CH}_2$ ; and

$\text{R}^8$  is  $-(\text{alkylene})-\text{NHC}(\text{R}^b)=\text{NH}$  where  $\text{R}^b$  is a covalent bond that links (c) to the linker; or  $-\text{W}-\text{R}^8$  is a covalent bond that links (c) to the linker provided that only one of  $\text{R}^b$  or  $-\text{W}-\text{R}^8$  binds said moiety to said linker;

(iv) a moiety of formula (d):



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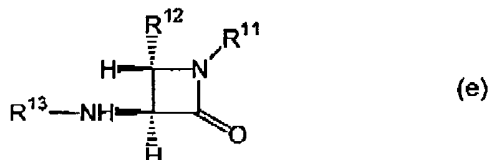
wherein:

$R^9$  and  $R^{9a}$  are alkyl;

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, halo, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclalkyl and  $-CH_2SR^a$ , where  $R^a$  is aryl, heteroaryl, heterocyclyl or cycloalkyl wherein each of said substituents optionally links (d) to the linker or at least one of  $R^9$  and  $R^{10}$  is a covalent bond that links (d) to the linker; or

$R^9$  and  $R^{10}$ , together with the carbon atoms to which they are attached, form an aryl, heteroaryl, cycloalkyl, substituted cycloalkyl, or heterocyclyl ring of from 4 to 7 ring atoms wherein one of the ring atoms optionally links (d) to the linker provided that only one of said substituents, ring atoms,  $R^9$  or  $R^{10}$  links said moiety to said linker; and

(v) a moiety of formula (e):



wherein:

$R^{11}$  is selected from the group consisting of  $-SO_3H$  or  $-(alkylene)-COOH$ ;

$R^{12}$  is selected from the group consisting of alkyl, substituted alkyl, haloalkyl, alkoxy, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, substituted cycloalkyl, and heterocyclyl wherein each of said substituents optionally binds (e) to the linker or  $R^{12}$  is a covalent bond that links (e) to the linker,

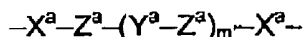
$R^{13}$  is selected from the group consisting of alkyl, acyl, or  $-COC(R^{14})=N-OR^{15}$  wherein  $R^{14}$  is aryl or heteroaryl which optionally links (e) to the linker, and  $R^{15}$  is  $-(alkylene)-COOR^{16}$  wherein  $R^{16}$  is hydrogen or a covalent bond that optionally links (e) to the linker or  $R^{13}$  is a covalent bond that links (e) to the linker provided that only one of  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$  or  $R^{15}$  links said moiety to said linker;

$L$  is an optionally substituted vancomycin moiety or an aglycon derivative of an optionally substituted vancomycin moiety, wherein  $L$  is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus,

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the dihydroxyphenyl ring, the saccharide amino group and the aglycone hydroxy terminus; and

X' is a linker of the formula:



wherein

$m'$  is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of -O-, -S-, -NR'-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR'-, -NR'C(O)-, C(S), -C(S)O-, -C(S)NR'-, NR'C(S)-, and a covalent bond;

$Z^a$  at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

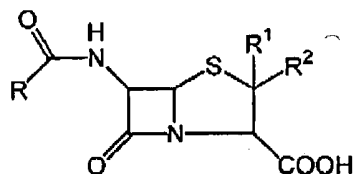
each  $Y^a$  at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR'-, -S(O) $n$ -, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, NR'C(S)NR'-C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O) $n$ CR'R"-, -S(O) $n$ -NR'-, -NR'-S(O) $n$ -, -S-S-, and a covalent bond; where  $n$  is 0, 1, or 2; and

R' and R" at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

provided, that when L" is a vancomycin moiety attached via its carboxyl group to the linker, then L' is not a cefalexin moiety attached to the linker via acylation of its  $\alpha$ -amino group.

42. (Previously presented) The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:

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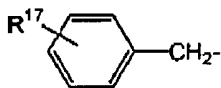


wherein:

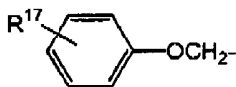
R<sup>1</sup> and R<sup>2</sup> are methyl; and

R is selected from the group consisting of:

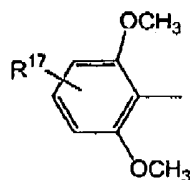
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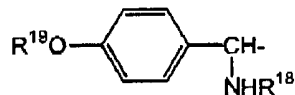
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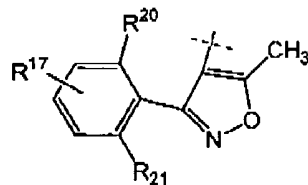
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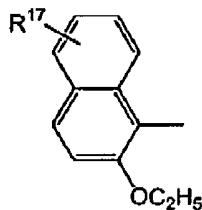
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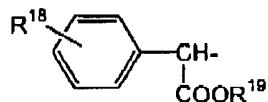
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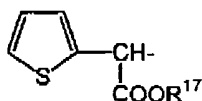
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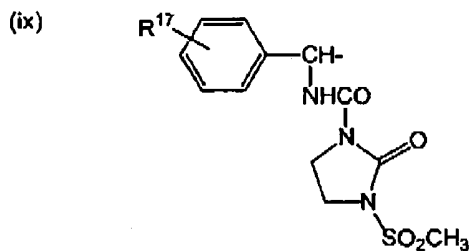
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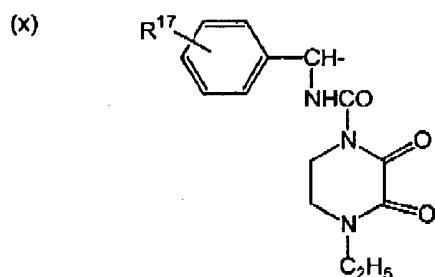
(viii)



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and



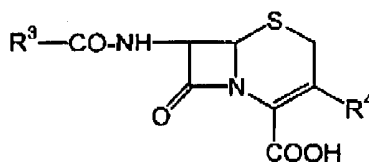
wherein:

$R^{17}$  is a covalent bond that links the  $\beta$ -lactam moiety to a linker;

one of  $R^{18}$  and  $R^{19}$  is hydrogen and the other is a covalent bond that links the  $\beta$ -lactam moiety to a linker, and

$R^{20}$  and  $R^{21}$  are independently selected from the group consisting of hydrogen and chloro.

43. (Previously presented) The compound of Claim 41, wherein  $L'$  is a moiety of the formula:

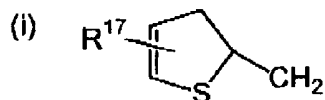


where:

$R^3$  and  $R^4$  are selected from the group consisting of:

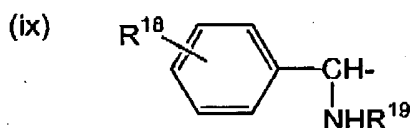
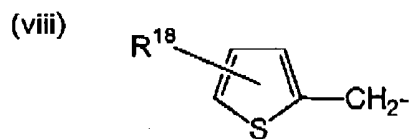
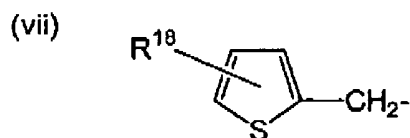
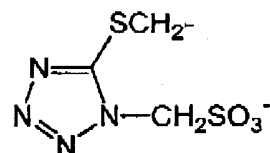
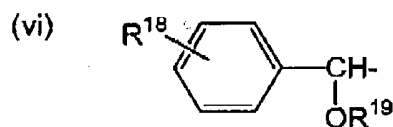
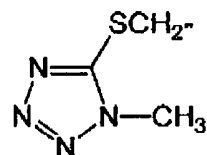
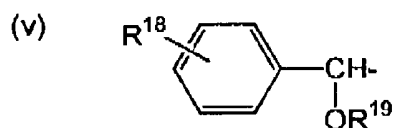
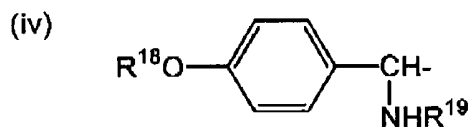
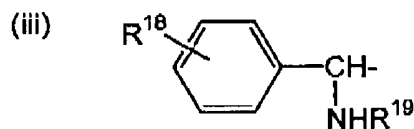
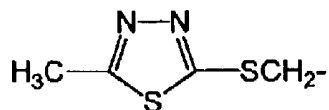
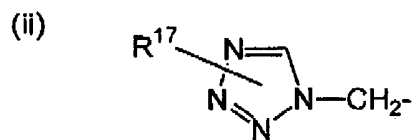
$R^3$

$R^4$



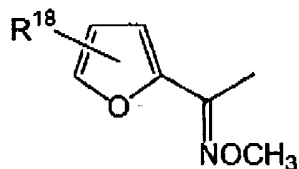
$-\text{CH}_2\text{OCOCH}_3$

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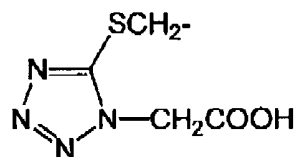
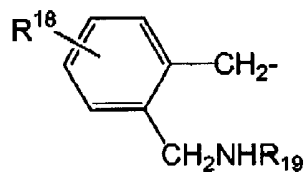


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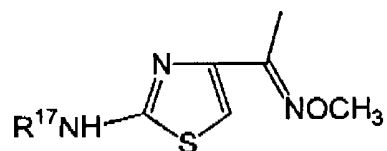
(x)



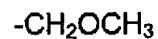
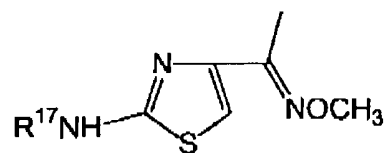
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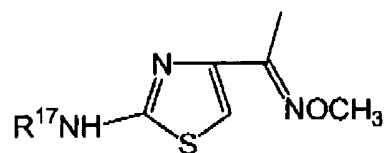
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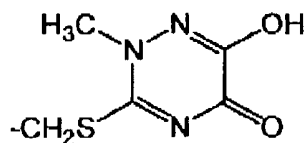
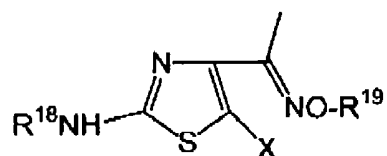
(xiii)



(xiv)



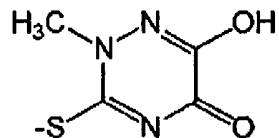
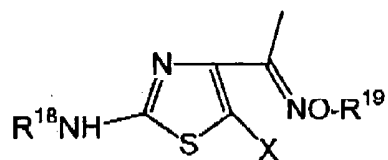
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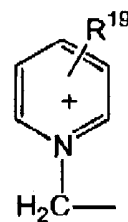
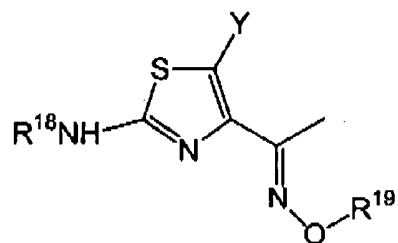


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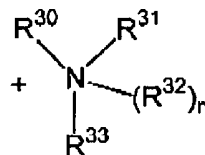
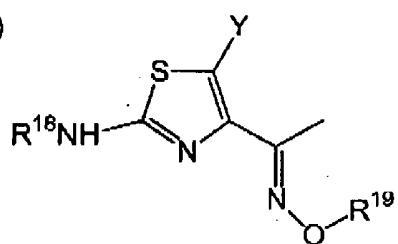
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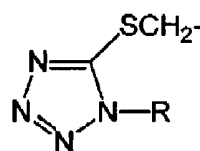
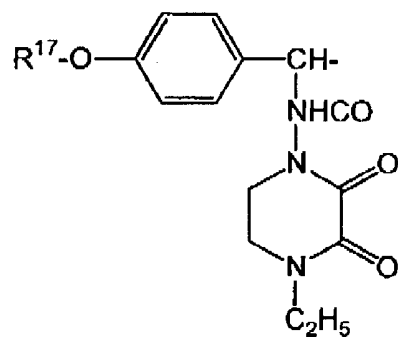
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(xviii)

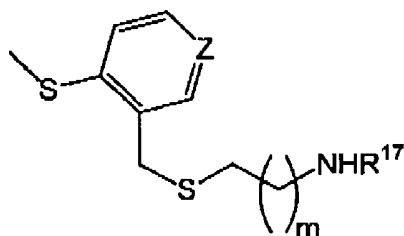
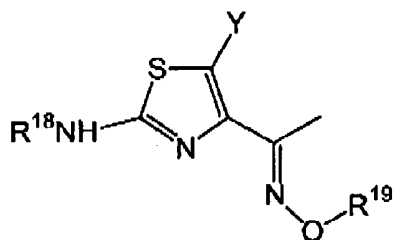


(xix)

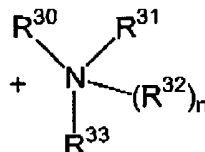
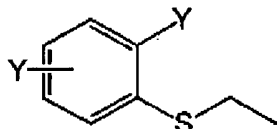


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(xx)



(xi)



wherein:

R is alkyl;

R<sup>17</sup> is a covalent bond that links the L' moiety to the linker;

R<sup>18</sup> and R<sup>19</sup> are hydrogen or alkyl;

R<sup>30</sup> and R<sup>31</sup> are, independently of each other, hydrogen or alkyl; or together with the nitrogen atom to which they are attached form a heterocycloamino group;

R<sup>32</sup> is alkyl;

R<sup>33</sup> is alkylene;

X is halo;

Y is hydrogen or halo;

Z is CH or N;

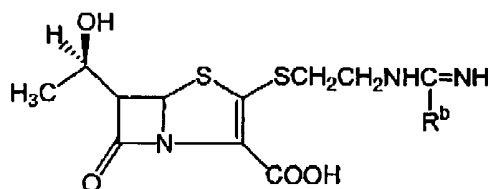
m is an integer from 1 to 5;

n is 0 or 1;

and further wherein one of R<sup>18</sup>, R<sup>19</sup>, R<sup>30</sup>, R<sup>31</sup>, R<sup>32</sup> and R<sup>33</sup> is a covalent bond that links the L' moiety to the linker.

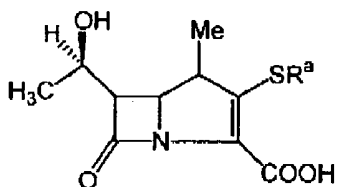
44. (Previously presented) The compound of Claim 41, wherein the β-lactam moiety has the formula:

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wherein  $R^b$  is a covalent bond linking the  $\beta$ -lactam moiety to the linker.

45. (Previously presented) The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:

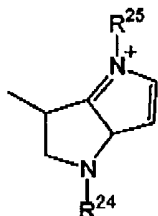


wherein  $R^a$  is selected from the group consisting of:

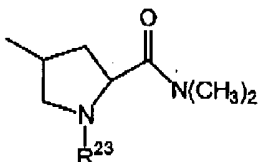
- (i)
- (ii)
- (iii)
- (iv)
- (v)

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(vi)



(vii)



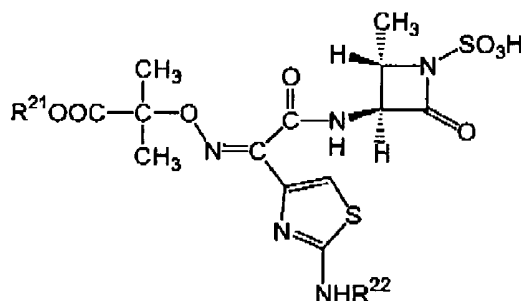
wherein:

$R^{23}$  is a covalent bond that links the  $\beta$ -lactam moiety to the linker;

one of  $R^{24}$  and  $R^{25}$  is hydrogen, alkyl, substituted alkyl, or aralkyl, and the other is a covalent bond that links the  $\beta$ -lactam moiety to the linker; and

$R^{26}$  is alkyl.

46. (Previously presented) The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:



wherein one of  $R^{21}$  and  $R^{22}$  is hydrogen and the other links the  $\beta$ -lactam moiety to the linker.

Claims 47-48. (Canceled).

49. (Previously presented) The compound according to Claim 41 wherein  $L''$  is a vancomycin moiety which is attached to the linker at the saccharide amino group of the vancomycin moiety.

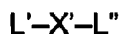
50. (Previously presented) The compound according to Claim 41, wherein  $L''$  is a vancomycin moiety which is attached to the linker at the amino terminus of the vancomycin moiety.

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51. (Previously presented) The compound according to Claim 41, wherein L" is a vancomycin moiety which is attached to the linker at the carboxy terminus of the vancomycin moiety.

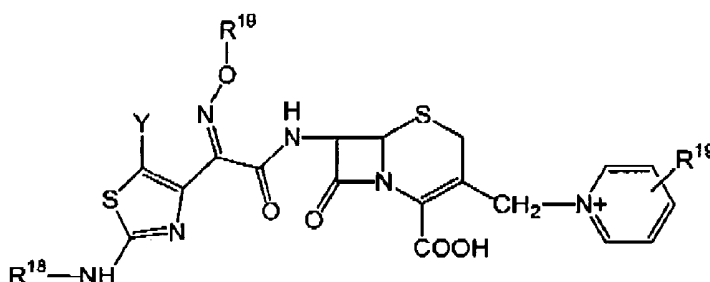
Claim 52. (Canceled).

53. (Previously presented) A compound of the formula:



or a pharmaceutically acceptable salt thereof; wherein

L' is a moiety of the formula:



wherein

$Y$  is selected from the group consisting of hydrogen and halogen;

$R^{18}$  and  $R^{19}$  are selected from the group consisting of hydrogen or alkyl provided that one of  $R^{18}$  and  $R^{19}$  is a covalent bond which links the L' moiety to the linker; and

$L''$  is a vancomycin moiety, wherein  $L''$  is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus, the dihydroxyphenyl ring and the saccharide amino group of the vancomycin moiety; and

$X'$  is a linker of the formula:



wherein

$m'$  is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-NR'-$ ,  $-C(O)-$ ,  $-C(O)O-$ ,  $-OC(O)-$ ,  $-C(O)NR'-$ ,  $-NR'C(O)-$ ,  $C(S)$ ,  $-C(S)O-$ ,  $-C(S)NR'-$ ,  $-NR'C(S)-$ , and a covalent bond;

$Z^a$  at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted

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alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

each  $Y^a$  at each separate occurrence is selected from the group consisting of  $-O-$ ,  $-C(O)-$ ,  $-OC(O)-$ ,  $-C(O)O-$ ,  $-NR'-$ ,  $-S(O)_n-$ ,  $-C(O)NR'-$ ,  $-NR'C(O)-$ ,  $-NR'C(O)NR'-$ ,  $NR'C(S)NR'-$ ,  $-C(=NR')-NR'-$ ,  $-NR'-C(=NR')-$ ,  $-OC(O)-NR'-$ ,  $-NR'-C(O)-O-$ ,  $-P(O)(OR')-$ ,  $O-$ ,  $-O-P(O)(OR')-$ ,  $-S(O)_nCR'R''-$ ,  $-S(O)_nNR'-$ ,  $-NR'-S(O)_n-$ ,  $-S-S-$ , and a covalent bond; where  $n$  is 0, 1 or 2; and

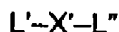
$R'$  and  $R''$  at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic.

54. (Previously presented) The compound according to Claim 53, wherein  $Y$  is halogen.

55. (Previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of any of Claims 41-46, 49-51, 53, 54, 57 or 58.

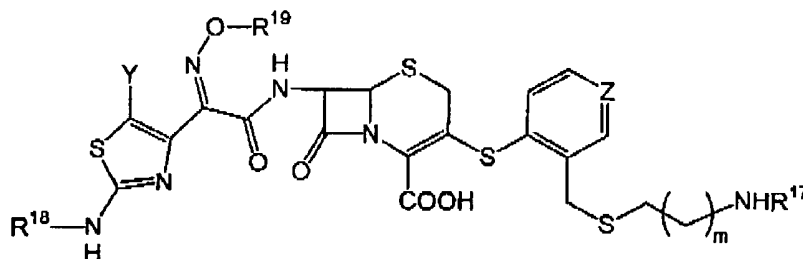
Claim 56. (Canceled).

57. (Previously presented) A compound of the formula:



or a pharmaceutically acceptable salt thereof; wherein

$L'$  is a moiety of the formula:



wherein

$Y$  is selected from the group consisting of hydrogen and halogen;

$Z$  is  $CH$  or  $N$ ;

$R^{17}$  is a covalent bond that links the  $L'$  moiety to the linker;

$R^{18}$  and  $R^{19}$  are selected from the group consisting of hydrogen or alkyl;

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$m$  is an integer from 1 to 5;

$L''$  is a vancomycin moiety, wherein  $L''$  is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus, the dihydroxyphenyl ring and the vancosamine amino group of the vancomycin moiety; and

$X'$  is a linker of the formula:



wherein

$m'$  is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of -O-, -S-,  $NR'$ -, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR'-,  $NR'C(O)$ -, C(S), -C(S)O-, -C(S)NR'-,  $NR'C(S)$ -, and a covalent bond;

$Z^a$  at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

each  $Y^a$  at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-,  $NR'$ -, -S(O) $n$ -, -C(O)NR'-,  $NR'C(O)$ -,  $NR'C(O)NR'$ -,  $NR'C(S)NR'$ -, -C(=NR')-NR'-,  $NR'-C(=NR')$ -, -OC(O)-NR'-,  $NR'-C(O)-O$ -, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O) $n$ CR'R"-, -S(O) $n$ -NR'-,  $NR'-S(O)_n$ -, -S-S-, and a covalent bond; where  $n$  is 0, 1 or 2; and

$R'$  and  $R''$  at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic.

58. (Previously presented) The compound according to Claim 57, wherein  $Y$  is halogen.